

09/209068

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PASSWORD:
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*
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* June 22,1999 for U.S. Current Classification Data.
* June 22,1999 for U.S. Patent Image Data.
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09/209068

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FILE 'USPAT' ENTERED AT 13:38:54 ON 25 JUN 1999  
  
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*     U. S.      P A T E N T      T E X T      F I L E  
*  
*     THE WEEKLY PATENT TEXT AND IMAGE DATA IS CURRENT  
*     THROUGH June 22, 1999.  
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=> file uspat usocr
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FILE 'USPAT' ENTERED AT 13:39:18 ON 25 JUN 1999

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*     U. S.      P A T E N T      T E X T      F I L E  
*  
*     THE WEEKLY PATENT TEXT AND IMAGE DATA IS CURRENT  
*     THROUGH June 22, 1999.  
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FILE 'USOCR' ENTERED AT 13:39:18 ON 25 JUN 1999
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=> s (514/314)/ccls

FILE 'USPAT'
L1 709 (514/314)/CCLS

FILE 'USOCR'
L2 709 (514/314)/CCLS

TOTAL FOR ALL FILES
L3 1418 (514/314)/CCLS

09/209068

=> s L3 and indol?

FILE 'USPAT'
 24511 INDOL?
L4 328 L1 AND INDOL?

FILE 'USOCR'
 1253 INDOL?
L5 0 L2 AND INDOL?

TOTAL FOR ALL FILES
L6 328 L3 AND INDOL?

=> s L6 and glyoxylamid?

FILE 'USPAT'
 80 GLYOXYLAMID?
L7 0 L4 AND GLYOXYLAMID?

FILE 'USOCR'
 5 GLYOXYLAMID?
L8 0 L5 AND GLYOXYLAMID?

TOTAL FOR ALL FILES
L9 0 L6 AND GLYOXYLAMID?

=> s (514/339)/ccls

FILE 'USPAT'
L10 394 (514/339)/CCLS

FILE 'USOCR'
L11 394 (514/339)/CCLS

TOTAL FOR ALL FILES
L12 788 (514/339)/CCLS

=> s L12 and indol?

FILE 'USPAT'
 24511 INDOL?
L13 268 L10 AND INDOL?

FILE 'USOCR'
 1253 INDOL?
L14 4 L11 AND INDOL?

09/209068

TOTAL FOR ALL FILES

L15 272 L12 AND INDOL?

=> s L15 and glyoxylamid?

FILE 'USPAT'

80 GLYOXYLAMID?

L16 1 L13 AND GLYOXYLAMID?

FILE 'USOCR'

5 GLYOXYLAMID?

L17 0 L14 AND GLYOXYLAMID?

TOTAL FOR ALL FILES

L18 1 L15 AND GLYOXYLAMID?

=> d L18 ti in pd ab ccis

US PAT NO: 5,380,723 [IMAGE AVAILABLE]

L18: 1 of 1

TITLE: **Indole** derivatives

INVENTOR: Toshihiro Takahashi, Saitama, Japan
Hitoshi Inoue, Saitama, Japan
Masato Horigome, Tokyo, Japan
Kenichi Momose, Saitama, Japan
Masanori Sugita, Saitama, Japan
Kouichi Katsuyama, Saitama, Japan
Chikako Suzuki, Saitama, Japan
Shinji Nagai, Saitama, Japan
Masao Nagase, Saitama, Japan
Koichi Nakamaru, Saitama, Japan

DATE ISSUED: Jan. 10, 1995

ABSTRACT:

Disclosed are **indole** derivatives of formula (I) ##STR1## wherein X and Y each independently represent H or --CH₂.sub.2 CH₂.sub.2 R; R represents pyridyl or substituted amino of NR₂; R₁ represents H or C₁₋₆ alkyl; R₂ represents 2-(3-**indolyl**)ethyl or aralkyl; or R₁ and R₂ together with the nitrogen atom to which they are attached may form an N-containing 5 to 6 membered hetero ring, an N, O-containing hetero ring, which hetero ring may be fused with benzene; n is an integer of 4 to 8; with the proviso that X and Y both do not represent H or piperizinoethyl when n is 4, or pharmaceutically acceptable acid addition salts thereof. They are useful as an antiulcer agent.

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US-CL-CURRENT: 514/235.8, 310, 323, 339, 414; 544/143; 546/148, 165,
201, 277.4; 548/455

=> s (514/419)/ccls

FILE 'USPAT'
L19 455 (514/419)/CCLS

FILE 'USOCR'
L20 455 (514/419)/CCLS

TOTAL FOR ALL FILES
L21 910 (514/419)/CCLS

=> s L21 and indol?

FILE 'USPAT'
24511 INDOL?
L22 312 L19 AND INDOL?

FILE 'USOCR'
1253 INDOL?
L23 3 L20 AND INDOL?

TOTAL FOR ALL FILES
L24 315 L21 AND INDOL?

=> s L24 and glyoxylamid?

FILE 'USPAT'
80 GLYOXYLAMID?
L25 4 L22 AND GLYOXYLAMID?

FILE 'USOCR'
5 GLYOXYLAMID?
L26 0 L23 AND GLYOXYLAMID?

TOTAL FOR ALL FILES
L27 4 L24 AND GLYOXYLAMID?

=> d L27 1-4 ti in pd ab ccls

US PAT NO: 5,733,923 [IMAGE AVAILABLE] L27: 1 of 4
TITLE: 1H-indole-3-glyoxylamide SPLA.sub.2 inhibitors
INVENTOR: Nicholas J. Bach, Indianapolis, IN
Robert D. Dillard, Zionsville, IN

09/209068

Susan E. Draheim, Indianapolis, IN
DATE ISSUED: Mar. 31, 1998

ABSTRACT:

A class of novel 1H-indole-3-glyoxylamides is disclosed together with the use of such indole compounds for inhibiting sPLA_{sub.2} mediated release of fatty acids for treatment of conditions such as septic shock.

US-CL-CURRENT: 514/419; 548/447, 494

US PAT NO: 5,684,034 [IMAGE AVAILABLE] L27: 2 of 4
TITLE: 1H-indole-3-acetamide sPLA_{sub.2} inhibitors
INVENTOR: Nicholas J. Bach, Indianapolis, IN
Robert D. Dillard, Zionsville, IN
Susan E. Draheim, Indianapolis, IN
Robert B. Hermann, Indianapolis, IN
Richard W. Schevitz, Indianapolis, IN
DATE ISSUED: Nov. 4, 1997

ABSTRACT:

A class of novel 1-indole-3-acetamides represented by the formula; ##STR1## is disclosed together with the use of such indole compounds for inhibiting sPLA_{sub.2} mediated release of fatty acids.

US-CL-CURRENT: 514/419, 418; 548/113, 483, 486, 493, 496

US PAT NO: 5,654,326 [IMAGE AVAILABLE] L27: 3 of 4
TITLE: 1H-indole-3-glyoxylamide sPLA_{sub.2} inhibitors
INVENTOR: Nicholas J. Bach, Indianapolis, IN
Robert D. Dillard, Zionsville, IN
Susan E. Draheim, Indianapolis, IN
DATE ISSUED: Aug. 5, 1997

ABSTRACT:

A class of novel 1H-indole-3-glyoxylamides is disclosed together with the use of such indole compounds for inhibiting sPLA_{sub.2} mediated release of fatty acids for treatment of conditions such as septic shock.

US-CL-CURRENT: 514/419, 381; 544/373; 548/492, 494, 495

US PAT NO: 5,578,634 [IMAGE AVAILABLE] L27: 4 of 4
TITLE: 1H-indole-3-acetic acid hydrazide sPLA_{sub.2} inhibitors
INVENTOR: Nicholas J. Bach, Indianapolis, IN
Robert D. Dillard, Zionsville, IN
Susan E. Draheim, Indianapolis, IN
Robert B. Hermann, Indianapolis, IN
Richard W. Schevitz, Indianapolis, IN

09/209068

DATE ISSUED: Nov. 26, 1996

ABSTRACT:

A class of novel 1H-indole-3-acetic acid hydrazides is disclosed together with the use of such indole compounds for inhibiting sPLA₂ mediated release of fatty acids (e.g., arachidonic acid) for treatment of conditions such as septic shock.

US-CL-CURRENT: 514/419, 92, 362, 381; 548/135, 253, 414, 494

=> s (546/168)/ccls

FILE 'USPAT'
L28 306 (546/168)/CCLS

FILE 'USOCR'
L29 306 (546/168)/CCLS

TOTAL FOR ALL FILES
L30 612 (546/168)/CCLS

=> s L30 and indol?

FILE 'USPAT'
24511 INDOL?
L31 96 L28 AND INDOL?

FILE 'USOCR'
1253 INDOL?
L32 4 L29 AND INDOL?

TOTAL FOR ALL FILES
L33 100 L30 AND INDOL?

=> s L33 and quinolin?

FILE 'USPAT'
24713 QUINOLIN?
L34 59 L31 AND QUINOLIN?

FILE 'USOCR'
2148 QUINOLIN?
L35 4 L32 AND QUINOLIN?

TOTAL FOR ALL FILES
L36 63 L33 AND QUINOLIN?

=> s L36 and glyoxylamid?

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FILE 'USPAT'
L37 80 GLYOXYLAMID?
 0 L34 AND GLYOXYLAMID?

FILE 'USOCR'
L38 5 GLYOXYLAMID?
 0 L35 AND GLYOXYLAMID?

TOTAL FOR ALL FILES
L39 0 L36 AND GLYOXYLAMID?

=> s (546/278.1)

FILE 'USPAT'
WARNING - FIELD CODE NOT VALID '278.1'
L40 0 (546/278.1)

FILE 'USOCR'
WARNING - FIELD CODE NOT VALID '278.1'
L41 0 (546/278.1)

TOTAL FOR ALL FILES
L42 0 (546/278.1)

=> s (546/278.1)/ccls

FILE 'USPAT'
L43 157 (546/278.1)/CCLS

FILE 'USOCR'
L44 157 (546/278.1)/CCLS

TOTAL FOR ALL FILES
L45 314 (546/278.1)/CCLS

=> s L45 and indol?

FILE 'USPAT'
L46 24511 INDOL?
 97 L43 AND INDOL?

FILE 'USOCR'
L47 1253 INDOL?
 22 L44 AND INDOL?

TOTAL FOR ALL FILES

09/209068

L48 119 L45 AND INDOL?

=> s L48 and glyoxylamid?

FILE 'USPAT'

80 GLYOXYLAMID?

L49 0 L46 AND GLYOXYLAMID?

FILE 'USOCR'

5 GLYOXYLAMID?

L50 1 L47 AND GLYOXYLAMID?

TOTAL FOR ALL FILES

L51 1 L48 AND GLYOXYLAMID?

=> d 151 ti in pd ab cc1s

US PAT NO: OCR DATA 3,801,594 [IMAGE AVAILABLE] L51: 1 of 1
TITLE: SUBSTI*
INVENTOR: NAME MAY BE IN MISC FIELD, CITY MAY BE IN MISC FIELD
DATE ISSUED: Apr. 2, 1974

ABSTRACT:

ABSTRACT OF THE DISCLOSURE This invention describes new substituted indole compounds. Intermediate 3-halocarbonyl indoles are also described. The preparation of the final products from the 3-halocarbonyl indoles where the 3-position contains a disubstituted gamma-aminoethyl group is described. The compounds have utility as central nervous system depressants, analgesics, anti-inflammatory agents, and diuretic agents.

US-CL-CURRENT: 540/582; 514/869; 544/144; 546/112, 277.4, 278.1;
548/468, 492, 493, 504

=> s (548?491)/cc1s

FILE 'USPAT'

'?' TRUNCATION SYMBOL NOT VALID WITHIN '548?491'

FILE 'USOCR'

'?' TRUNCATION SYMBOL NOT VALID WITHIN '548?491'

YOU HAVE RECEIVED THIS ERROR MESSAGE 2 CONSECUTIVE TIMES

The truncation symbol ? may be used only at the end of a search term. To specify a variable character within a word use '!', e.g., 'wom!n' to search for both 'woman' and 'women'. Enter "HELP TRUNCATION" at an arrow prompt (>) for more information.

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IF YOU REQUIRE FURTHER HELP, PLEASE CONTACT YOUR LOCAL HELP DESK
=> s (548/491)/ccls

FILE 'USPAT'
L52 341 (548/491)/CCLS

FILE 'USOCR'
L53 341 (548/491)/CCLS

TOTAL FOR ALL FILES
L54 682 (548/491)/CCLS

=> s L54 and indol?

FILE 'USPAT'
24511 INDOL?
L55 210 L52 AND INDOL?

FILE 'USOCR'
1253 INDOL?
L56 27 L53 AND INDOL?

TOTAL FOR ALL FILES
L57 237 L54 AND INDOL?

=> s L57 and glyoxylamid?

FILE 'USPAT'
80 GLYOXYLAMID?
L58 0 L55 AND GLYOXYLAMID?

FILE 'USOCR'
5 GLYOXYLAMID?
L59 0 L56 AND GLYOXYLAMID?

TOTAL FOR ALL FILES
L60 0 L57 AND GLYOXYLAMID?

=> s (548/493)/ccls

FILE 'USPAT'
L61 184 (548/493)/CCLS

FILE 'USOCR'
L62 184 (548/493)/CCLS

TOTAL FOR ALL FILES

09/209068

L63 368 (548/493)/CCLS

=> s L63 and glyoxylamid?

FILE 'USPAT'

80 GLYOXYLAMID?

L64 2 L61 AND GLYOXYLAMID?

FILE 'USOCR'

5 GLYOXYLAMID?

L65 2 L62 AND GLYOXYLAMID?

TOTAL FOR ALL FILES

L66 4 L63 AND GLYOXYLAMID?

=> d L66 1-4 ti in pd ab ccls

US PAT NO: 5,684,034 [IMAGE AVAILABLE] L66: 1 of 4

TITLE: 1H-indole-3-acetamide sPLA_{sub.2} inhibitors

INVENTOR: Nicholas J. Bach, Indianapolis, IN

Robert D. Dillard, Zionsville, IN

Susan E. Draheim, Indianapolis, IN

Robert B. Hermann, Indianapolis, IN

Richard W. Schevitz, Indianapolis, IN

DATE ISSUED: Nov. 4, 1997

ABSTRACT:

A class of novel 1-indole-3-acetamides represented by the formula;
##STR1## is disclosed together with the use of such indole compounds for
inhibiting sPLA_{sub.2} mediated release of fatty acids.

US-CL-CURRENT: 514/419, 418; 548/113, 483, 486, 493, 496

US PAT NO: 3,915,990 [IMAGE AVAILABLE] L66: 2 of 4

TITLE: Tryptamines

INVENTOR: John R. Smythies, Birmingham, AL

DATE ISSUED: Oct. 28, 1975

ABSTRACT:

Substituted indoles and benzimidazoles having serotonin blocking activity
and having the structural formulae ##EQU1## and ##EQU2## wherein one and
only one of Y or Z is --OR_{sub.3} and WHEREIN R_{sub.1}, R_{sub.2} and R_{sub.3}
is H or lower alkyl, and

Wherein R_{sub.4} is a phenyl group or phenyl group substituted with lower
alkyl, lower alkoxy, halogen, CF_{sub.3}, NH_{sub.2}, NO_{sub.2}, CN,
--NH-lower alkyl or ##EQU3## GROUP.

US-CL-CURRENT: 548/506; 514/903, 923; 546/86; 548/309.7, 493, 504,

09/209068

505, 507

US PAT NO: OCR DATA 3,801,594 [IMAGE AVAILABLE] L66: 3 of 4
TITLE: SUBSTI*
INVENTOR: NAME MAY BE IN MISC FIELD, CITY MAY BE IN MISC FIELD
DATE ISSUED: Apr. 2, 1974

ABSTRACT:

ABSTRACT OF THE IISCLOSURE This invention describes new substititted indole compounds. Intermediate 3-halodicarbonyl indoles are also described. The preparation of *e final products from the 3-halodicarbonyl indoles whereiu the 3-position contains a disubstituted g-aminoethyl group is described. The compounds have utility as central nervous system depressahts, analgesics, anti-inflammatory agents, and iliuretic agents.

US-CL-CURRENT: 540/582; 514/869; 544/144; 546/112, 277.4, 278.1; 548/468, 492, 493, 504

US PAT NO: OCR DATA 3,686,213 [IMAGE AVAILABLE] L66: 4 of 4
TITLE: TITLE MAY BE IN MISC FIELD
INVENTOR: NAME MAY BE IN MISC FIELD, CITY MAY BE IN MISC FIELD
DATE ISSUED: Aug. 22, 1972

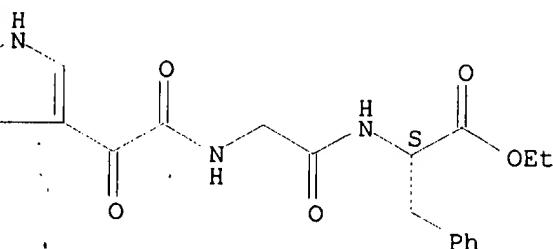
ABSTRACT:

15 ta 7 'ken together is morpholino, pyrrolinyl, 1,2,5,6-tetrahyThis invention describes new substituted indole com- dropyridyl, 2-lower alkyl US-CL-CURRENT: 548/504; 514/869; 540/582, 602; 544/144; 546/16, 112, 201, 277.4; 548/468, 493, 507; 560/155

=> log y

U.S. Patent & Trademark Office LOGOFF AT 13:50:18 ON 25 JUN 1999

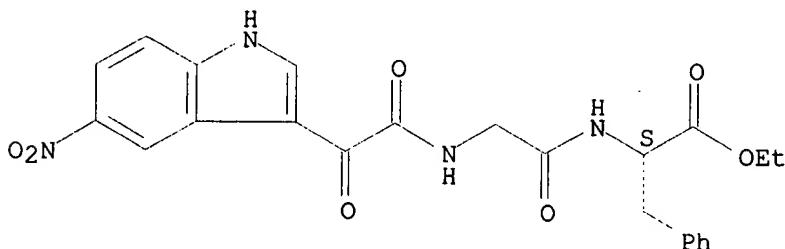
stereochemistry.



RN 153694-29-2 HCAPLUS

CN L-Phenylalanine, N-[N-[(5-nitro-1H-indol-3-yl)oxoacetyl]glycyl]-, ethyl ester (9CI) (CA INDEX NAME)

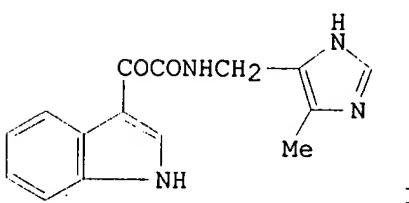
Absolute stereochemistry.



L24 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 1998 ACS

1994:125105 Document No. 120:125105 Probing the 5-HT3 receptor site using novel indole-3-glyoxylic acid derivatives. Evans, S. M.; Huang, B. S.; Feng, D.; Gall, M.; Tsai, C.; Bariso, C.; Taylor, C. A. (ABOC Health Care Co., Anaquest Inc., Murray Hill, NJ, 07974, USA). Med. Chem. Res., 3(5-6), 386-406 (English) 1993. CODEN: MCREEB. ISSN: 1054-2523.

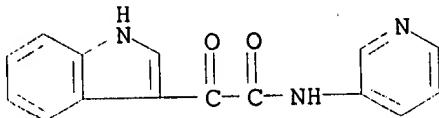
GI



AB Novel ester and amide derivs. of indole-3-glyoxylic acid were synthesized and used to probe the 5-HT3 receptor binding site. The structural design of these ligands was based on 1) the rigidity and preferred conformation of the glyoxylic acid fragment, as shown by ab initio geometry optimization using the 3-21G basis set, and 2) the chem. template comprising the 3-dimensional pharmacophore for the 5-HT3 recognition site. The geometrical changes provide ligands which are selective for the 5-HT3 receptor and demonstrate good antiemetic potency. The most potent compd. (I) had a binding affinity of 33 nM and an ED50 of 0.07 mg/kg i.v. in the

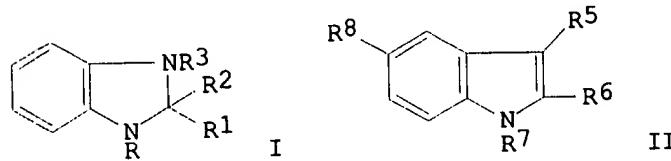
KATHLEEN FULLER BT/LIBRARY 308-4290

displatin-induced emesis assay in ferrets.
 2-2 (Mammalian Hormones)
 serotonergic S3 receptor ligand; indoleglyoxylate deriv serotonin
 receptor
 IT Pharmacophores
 (of serotonergic S3 receptors)
 IT Receptors
 RL: BIOL (Biological study)
 (serotonergic S3, indoleglyoxylate derivs. as ligands for)
 IT 132797-95-6P . 143137-38-6P 152721-50-1P 152721-51-2P
 152721-52-3P . 152721-53-4P 152721-54-5P 152721-55-6P
 152721-56-7P 152721-57-8P 152721-58-9P 152721-59-0P
 152721-60-3P
 RL: SPN (Synthetic preparation); PREP
 (Preparation)
 (prepn. and serotonergic S3 receptor binding of)
 IT 51605-33-5P, 4-Chloromethyl-5-methylimidazole hydrochloride
 72631-77-7P 152721-61-4P 152721-62-5P 152721-63-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 IT 152721-57-8P
 RL: SPN (Synthetic preparation); PREP
 (Preparation)
 (prepn. and serotonergic S3 receptor binding of)
 RN 152721-57-8 HCAPLUS
 CN 1H-Indole-3-acetamide, .alpha.-oxo-N-3-pyridinyl- (9CI) (CA INDEX
 NAME)



L24 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 1998 ACS
 1991:449510 Document No. 115:49510 Synthesis and antihypertensive
 activity of some 2-aminobenzimidazole and indole derivatives. Da
 Settimo, Antonio; Marini, Anna Maria; Primofiore, Giampaolo;
 Subissi, Alessandro (Ist. Chim. Farm., Univ. Pisa, Pisa, 56100,
 Italy). Farmaco, 46(2), 357-67 (English) 1991. CODEN: FRMCE8.

GI



AB Aminobenzimidazole derivs. I [R = H, CH₂Ph, Me, CH₂C₆H₄Cl-4, R¹ = NHCOCOR₄, R²R³ = bond, R⁴ = 2,6-dichloroanilino (throughout); R = H, CH₂Ph, Me, CH₂C₆H₄Cl-4, R¹R² = NH, R³ = CH₂COR₄] and indole derivs. II (R⁵ = COCOR₄, R⁶, R⁷ = H, Me, R⁸ = H, Br, Cl, NO₂, OMe; R⁵ = CH₂COR₄, R⁶ = R⁷ = R⁸ = H) were prep'd. and some were tested for antihypertensive activity. Thus, indol-3-ylacetyl chloride condensed with 2,6-dichloroaniline to give II (R⁵ = CH₂COR₄, R⁶ = R⁷ = R⁸ = H). None of the compds. tested showed appreciable antihypertensive activity.

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